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TITLE: Skin external preparation for use in cosmetics and pharmaceuticals, and for whitening of skin, comprises extract of specified plants e.g. Equisetum arvense, and acylated derivative of glycosyl-L-ascorbic acid

INVENTOR: HASEGAWA K ; IFUKU O ; SATO K ; YAMAMOTO I

PATENT-ASSIGNEE:

ASSIGNEE	CODE
SHISEIDO CO LTD	SHIS
YAMAMOTO T	YAMAI

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PATENT-FAMILY:

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APPLICATION-DATA:

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CIPS	<u>A61 K 31/7032</u>	20060101
CIPS	<u>A61 K 36/00</u>	20060101
CIPS	<u>A61 K 36/18</u>	20060101
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CIPS	<u>A61 K 8/00</u>	20060101
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CIPS A61 Q 19/00 20060101

ABSTRACTED-PUB-NO: JP 2001302525 A

BASIC-ABSTRACT:

NOVELTY - Skin external preparation comprises acylated derivative of glycosyl-L-ascorbic acid (ADGAA), and extract of one or more kinds of the 19 plants given in the specification, e.g. extract of Equisetum field horse tail (Equisetum arvense) plant Coladecaballo (Equisetum giganteum), and/or extract of Iridaceae Eleucerin Yawar Piri-Piri (Eleucerine plicata).

None given.

USE - The composition is used in cosmetics and pharmaceuticals, and for whitening of skin.

ADVANTAGE - The skin external preparation has improved skin whitening effect, and is excellent in stability and safety. The skin external preparation efficiently prevents dark complexion, stain and freckle. Persons with dark complexion, stain and freckle, were evaluated for skin whitening effect. 20 persons (test group) were applied with a lotion comprising extract of Coladecaballo and 6-O-dodecanoyl-2-O-alpha-D-monoglucopyranosyl-L-ascorbic acid, and another 20 persons (control group) were applied with a lotion not comprising the plant extract and the ascorbic acid of the test lotion. The application of the lotions were performed for 3 months, thrice a day, and skin whitening effect was evaluated after 3 months. The results obtained showed that the persons treated with the test lotion had no dark complexion, stain and freckle, with improved rate of effectiveness of 80% or more than the control group.

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EQUIVALENT-ABSTRACTS:

ORGANIC CHEMISTRY

Preferred Components: The ADGAA are monoacylated derivative. The ADGAA is 2-glucopyranosyl-L-ascorbic acid or 2-galactopyranosyl-L-ascorbic acid. The 3C or 20C acyl group in ADGAA, is lower fatty acid or higher fatty acid which is utilized as basic back bone of the skin external preparation. The glycosyl-L-ascorbic acid residue of ADGAA, has acylated hydroxyl group in the 6th position.

Preferred Composition: The skin external preparation comprises 0.001-20.0 weight% (wt.%) of the plant extract and 0.001-10.0 wt.% of ADGAA, with respect to the whole quantity of the skin external preparation.

2-glucopyranosyl-L-ascorbic acid (2.71 g) (8.0 mmol) was introduced into a reaction container under room temperature, added with pyridine (350 ml), and dissolved by stirring, under argon air current. Anhydrous lauric acid (9.6 mmol) dissolved in pyridine (50 ml), was added for 2 minutes, and reacted for 165 minutes. The obtained mixture was added with methanol, concentrated, dried and the reaction was stopped. The obtained reaction mixture (4.65 g) was passed through silica gel column. The mixture was eluted by passing a liquid mixture

containing ethyl acetate (500 ml), ethyl acetate/methanol (9:1) (500 ml), ethyl acetate/methanol (8:2) (500 ml), and ethyl acetate/methanol (7:3) (500 ml), through the column, and eluates (100 ml) were collected. A small amount of each elution fraction was dripped in silica gel plate for thin layer chromatography, dried, expanded using ethyl acetate/methanol (6:4), and dried. The obtained product (2.09 g) was purified with column chromatography, eluted, concentrated, dried, and 6-O-dodecanoyl-2-O-alpha-D-monoglucopyranosyl-L-ascorbic acid as fine white granules with tasteless and odor less (46.4% of yield) was obtained. (In weight%) 95% Ethanol (25.0), polyoxyethylene (25 mols) hardening castor oil ether (2.0), 2-hydroxy-4-methoxy benzophenone-5-sulfonate (3.0), antiseptic/antioxidant (quantity sufficient (q.s)), fragrance (q.s), extract of Coladecaballo (medical agent) (1.0), and 6-O-dodecanoyl-2-O-alpha-D-monoglucopyranosyl-L-ascorbic acid (1.0), were mixed to obtain an alcohol phase. Glycerol (2.0), propylene glycol (1.0), and ion exchange water (balance amount), were mixed to obtain a water phase. The water phase and the alcohol phase were mixed, and a skin external formulation was obtained.

**TITLE-TERMS: SKIN EXTERNAL PREPARATION COSMETIC PHARMACEUTICAL WHITE COMPRISE EXTRACT SPECIFIED PLANT ARVENSE ACYLATED DERIVATIVE GLYCOSYL ASCORBIC ACID**

**DERWENT-CLASS: B04 D21**

**CPI-CODES: B04-A08C2; B04-A09; B04-A10; B14-N17; B14-R01; D08-B09A1;**

**CHEMICAL-CODES:**

Chemical Indexing M1 \*01\*  
Fragmentation Code  
M423 M431 M782 P943 Q254 Q262  
Specific Compounds  
RA00GT  
Registry Numbers  
200757 200799

**SECONDARY-ACC-NO:**

CPI Secondary Accession Numbers: 2002-054208